## **AMENDED CLAIMS**

[received by the International Bureau on 04 July 2005 (04.07.2005); original claims 1, 2, amended, original claims 8-15, 18-25 amended and renumbered, claims 6, 7, 16, 17 cancelled]

## 1. A compound of formula (I)

or a pharmaceutically acceptable salt thereof, wherein:

## -G<sub>1</sub> is a radical (II)

$$R_1$$
 $R_2$ 
 $R_3$ 
 $R_4$ 
 $R_1$ 
 $R_3$ 
 $R_4$ 
 $R_4$ 
 $R_4$ 
 $R_5$ 
 $R_7$ 
 $R_8$ 
 $R_8$ 
 $R_8$ 

wherein -R' is an electron pair or a (C<sub>1</sub>-C<sub>3</sub>)-alkyl radical; with the condition that

(i) when -R' is an electron pair, a is a N=C double bond and the fused ring

is the biradical

thus radical (II) is (IIa'), and

$$R_4$$
 $R_5$ 
 $R_6$ 
 $R_8$ 
 $R_8$ 
(IIa')

(ii) when -R' is a (C<sub>1</sub>-C<sub>3</sub>)-alkyl radical, a is a N-C single bond and the fused ring

is the triradical

thus radical (II) is (IIa");

$$R_1$$

$$R_2$$

$$R_3$$

$$R_3$$

$$R_{12}$$

$$R_{12}$$

$$R_{12}$$

wherein  $-R_1$  to  $-R_{12}$  represent radicals, same or different, selected from the group consisting of H,  $(C_1-C_4)$ -alkyl,  $(C_1-C_4)$ -alkoxy,  $(C_1-C_4)$ -alkylamino, phenyl, F, Cl, Br, amino, hydroxy, and nitro;

and wherein -B- is a biradical selected from the group consisting of -CONH-,

-NR<sub>13</sub>- , -O- ,-(CH<sub>2</sub>)<sub>n</sub>NH- , -(CH<sub>2</sub>)<sub>n</sub>O- , and -CO[NHCHR"CO]<sub>m</sub>O- ; wherein -R<sub>13</sub> is selected from the group consisting of H, (C<sub>1</sub>-C<sub>4</sub>)-alkyl, (C<sub>1</sub>-C<sub>4</sub>)-alkoxy and (C<sub>1</sub>-C<sub>4</sub>)-alkylamino; -R" are side chains radicals, same or different, corresponding to natural aminoacids;  $\underline{n}$  is an integer from 1 to 3 and  $\underline{m}$  is an integer from 1 to 3;

-L- is a single covalent bond or a covalent linking biradical selected from the following ones;

wherein -R" and -R"" are radicals, same or different, selected from the group consisting of H and  $(C_1-C_3)$ -alkyl;  $\underline{r}$  is an integer from 1 to 3;  $\underline{s}$  is an integer from 1 to 3;  $\underline{t}$  is an integer from 1 to 3; and

-G<sub>2</sub> is a radical selected from a radical of formula (II), the N-radical of 1,8-naphthalimide, the C4-radical of 2-phenylquinoline, and the C9-radical of acridine.

2. The compound according to claim 1, wherein (II) is the radical (IIa').

$$R_1$$
 $R_2$ 
 $R_3$ 
 $R_4$ 
 $R_5$ 
 $R_6$ 
 $R_6$ 
 $R_7$ 
 $R_8$ 
(IIa')

- 3. The compound according to claim 2, wherein -B- is selected from the group consisting of -CONH- and -NR $_{13}$  .
- 4. The compound according to claim 2, wherein -B- is -CO[NHCHR"CO]<sub>m</sub>O- .

- 5. The compound according to claim 4, wherein m = 2, the leftward -R" is a glicine side chain, and the rightward -R" is an arginine side chain.
- 6. The compound according to any of the claims 2-5 wherein -L- is a single covalent bond.
- 7. The compound according to any of the claims 2-5, wherein -L- is a covalent linking biradical selected from the following ones.

- 8. The compound according to claim 7, wherein -L- is the biradical -( $CH_2$ ), NR'''( $CH_2$ )s-, -R''' is methyl, and both <u>r</u> and <u>s</u> are 3.
- 9. The compound according to claim 7, wherein -L- is the covalent linking biradical  $(CH_2)_rNR'''(CH_2)_sNR''''(CH_2)_r$ , both -R''' and -R'''' are methyl; both <u>r</u> and <u>t</u> are 2, and <u>s</u> is 2 or 3.
- 10. The compound according to claim 1, wherein (II) is the radical (IIa").

$$R_1$$
 $R_2$ 
 $R_3$ 
 $R_3$ 
 $R_{12}$ 
 $R_{12}$ 
 $R_{12}$ 

- 11. The compound according to claim 10, wherein -B- is selected from the group consisiting of -CONH- and -NR<sub>13</sub>- .
- 12. The compound according to claim 10, wherein -B- is -CO[NHCHR"CO]<sub>m</sub>O- .

- 13. The compound according to claim 12, wherein  $\underline{m} = 2$ , the leftward -R" is a glicine side chain, and the rightward -R" is the arginine side chain.
- 14. The compound according to any of the claims 10-13, wherein -R' is methyl.
- 15. The compound according to claim 14, wherein -L- is a single covalent bond.
- 16. The compound according to claim 14, wherein -L- is a biradical selected from the following ones.

- 17. The compound according to claim 16, wherein -L- is the biradical -(CH<sub>2</sub>)<sub>r</sub>NR"'(CH<sub>2</sub>)<sub>s</sub>-, R"' is methyl, and both r and s are 3.
- 18. The compound according to claim 16, wherein -L- is the biradical  $(CH_2)_rNR'''(CH_2)_sNR''''(CH_2)_t$ , both -R''' and -R'''' are methyl; both r and t are 2, and s is an integer from 2 to 3.
- 19. The compound according to claim 1, which is selected from the group consisting of:

N-[3-[[3-[(9-acridinecarbonyl)amino]propyl]methylamino]propyl]-10H-indolo[3,2-b]quinoline-11-carboxamide (la);

N,N'-(4-methyl-4-azaheptamethylene)-di-(10H-indolo[3,2-b]quinoline-11,11'-carboxamide) (lb);

N-[3-[3-[[2-(1,3-dioxo-(2,3-dihydro)-1H-benzo[de]isoquinolinyl]propyl] methylamino]propyl]-10H-indolo[3,2-b]quinoline-11-carboxamide (Ic);

N-[3-[[3-[(2-phenyl-4-quinolinecarbonyl)amino]propyl]methylamino]propyl]- 10H-indolo[3,2-b]quinoline-11-carboxamide (Id);

N,N'-(3,7-dimethyl-3,7-diazanonamethylene)-di-(10H-indolo[3,2-b]quinoline-11,11'-carboxamide) (le);

N-[(9-acridinecarbonyl)-3,7,10-triaza-3,7-dimethyldecyl]-10H-indolo[3,2-b]quinoline-11-carboxamide (If);

N,N'-(3,6-dimethyl-3,6-diazaoctamethylene)-di-(10H-indolo[3,2-b]quinoline-11-11'-carboxamide (lg);

N-[(9-acridinecarbonyl)-3,6-dimethyl-3,6-diazaoctamethylene]-10H-indolo[3,2-b]quinoline-11-carboxamide (lh);

N-[[1,3-dioxo-(2,3-dihydro)-1H-benzo[de]isoquinolyl]-3,6-dimethyl-3,6-diazaoctamethylene]-10H-indolo[3,2-b]quinoline-11-carboxamide (li);

N-[[1,3-dioxo-(2,3-dihydro)-1H-benzo[de]isoquinolyl]-3,7,10-triaza-3,7-dimethyldecyl]-10H-indolo[3,2-b]quinoline-11-carboxamide (!j);

N,N'-(4-methyl-4-azaheptamethylene)-di-(5-methyl-5H-indolo[3,2-b]quinoline-11,11'-carboxamide) (lm);

N,N'-(4-methyl-4-azaheptamethylen)-di-(5-methyl-5H-indolo[3,2-b]quinoline-11,11'-amine (Iq);

N,N'-(3,7-dimethyl-3,7-diazanonamethylene)-di-(5-methyl-5H-indolo[3,2-b]quinoline-11,11'-carboxamide) (ly);

N,N'-(3,6-dimethyl-3,6-diazaoctamethylene)-di-(5-methyl-5H-indolo[3,2-b]quinoline-11,11'-carboxamide) (lz);

(3,7-diazanonamethylene)-di-(10H-indolo[3,2-b]quinoline-11,11'-carboxamide (laa);

N,N'-(3,7-dimethyl-3,7-diazanonamethylene)-di-(5-methyl-5H-indolo[3,2-b]quinoline-11,11'-amine (lab); and

N,N'-(3,6-dimethyl-3,6-diazaoctamethylene)-di-(5-methyl-5H-indolo[3,2-b]quinoline-11,11'-amine (lac).

20. Use of the compound as defined in any of the claims 1 to 19, for the preparation of a medicament for the treatment of cancer.

21. A pharmaceutical composition comprising a therapeutically effective amount of the compound as defined in any of the claims 1 to 19, together with appropriate amounts of pharmaceutical excipients or carriers.

## Statement under article 19(1)

We have amended the claims 1, 2 and claims 6 to 25 in response to citations mentioned in the ISR:

Independent claim 1 was changed as follows:

- The alternative formula (IIb) was eliminated in response to prior art stated (WO 98/45272 A (Latrobe University; DEADY et al. (1998-10-15), see pages 11-12, table 1, form A, compound 7). None of the compounds referring to formula (I) as claimed in amended claim 1 were disclosed by references cited in the ISR.
- The groups consisting of -CONH(CH<sub>2</sub>)<sub>u</sub>Z- and -CONH-(CH<sub>2</sub>)<sub>u</sub>CH(CH<sub>2</sub>OH)CH<sub>2</sub>Z- were excluded from the definition of the biradical -B-. Subsequently, the biradical of an oligonucleotide phosphate -Z- was also eliminated.
- Hydrogen H was excluded from the definition of the radical G<sub>2</sub>-. The proviso in the original claim 1 was eliminated.

Claim 2, dependent on claim 1, was amended by changing the old reference (IIa) to a reference (II), for the avoidance of doubt.

Old claims 6 and 7 were eliminated.

Old claims 8 and 9, corresponding to new claims 6 and 7, were amended by changing the reference to new claims 2-5, reflecting the change of numeration effected by elimination of claims 6 and 7.

Similar changes of reference were made in old claims 10 and 11, corresponding to new claims 8 and 9, old claims 13 and 14, corresponding to new claims 11 and 12, old claim 15, now claim 13, to reflect the change of numeration effected by the elimination of claims.

Old claim 12, corresponding to new claim 10, was amended by changing the old reference (IIa) for the new reference (II), for the avoidance of doubt.

Old claims 16 and 17 were eliminated.

Old claim 18, corresponding to new claim 14, was amended by changing the reference to new claims 10-13, instead of to old claims 12-17, to reflect the change of numeration effected by the elimination of claims 6, 7, 16 and 17.

Similar changes of reference were made in old claims 19 and 20, corresponding to new claims 15 and 16, old claims 21 and 22, corresponding to new claims 17 and 18, old claims 24 and 25, corresponding to new claims 20 and 21, to reflect the change of numeration effected by the elimination of claims.

Old claim 23, corresponding to new claim 19 and dependent to claim 1 was amended by eliminating the compounds (lo), (lp), (lr), (ls), (lu), (lu), (lv), (lw) and (lx).

All sheets in the claim section were substituted by new sheets.

The elimination of any subject matter from the claims does not constitute abandonment of the corresponding subject matter from the scope of the invention.

The applicants and inventors reserve the right to re-introduce into the claims any matter no longer claimed in the amended claims, at a subsequent step of the process, or to pursue such matter in a divisional application.